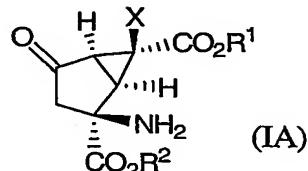


WHAT IS CLAIMED IS:

1. A process for preparing a compound of formula (IA):



5

wherein R¹ and R² are each selected from the group consisting of

- (1) hydrogen,
- (2) C₁-10 alkyl,
- (3) C₃-8 cycloalkyl, and
- (4) -(CH₂)_n-phenyl

10

wherein n is 1 or 2, and said alkyl, cycloalkyl and phenyl are unsubstituted or substituted with one or more halogen, hydroxy, C₁-6 alkyl or C₁-6 alkoxy;

X is selected from the group consisting of

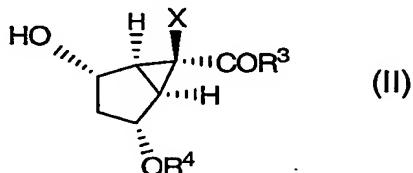
- (1) halogen, and
- (2) hydrogen; and

15

pharmaceutically acceptable salts thereof,

comprising:

(A) oxidizing a compound of formula (II):



20

wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

25

- (a) C₁-10 alkyl, and

- (b) C₃-8 cycloalkyl,

and R^a is unsubstituted or substituted with one or more

5

- (i) C₁₋₁₀ alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR^d,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (vii) NR^eR^f;

10 R^b, R^c, R^e and R^f are selected from the group consisting of

- (a) halogen
- (b) C₁₋₁₀ alkyl, and
- (c) C₃₋₈ cycloalkyl,

15 and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

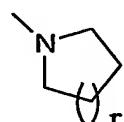
- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

20 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

- (vi) NR^gR^h;

wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;

25 or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

30

- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- (iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
 5 (vi) NRGRh,

R^d is hydrogen or C₁-10 alkyl; and

R⁴ is selected from the group consisting of

(1) hydrogen,
 10 (2) C₁-10 alkyl,
 (3) Si-(R⁹)(R¹⁰)(R¹¹),
 (4) C(=O)-R¹²,
 (5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁-10 alkyl and
 15 C₁-10 alkoxy,
 (6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴,
 (7) tetrahydropyranyl,

wherein R⁹, R¹⁰ and R¹¹ are each C₁-10 alkyl or phenyl, and R¹⁴ is selected from the group consisting of

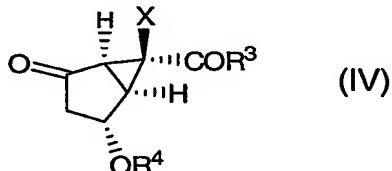
20 (a) hydrogen,
 (b) C₁-10 alkyl,

p is 1 or 2;

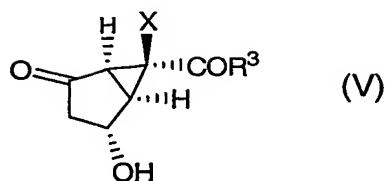
q is an integer selected from 1-10; and

X' is O or a bond;

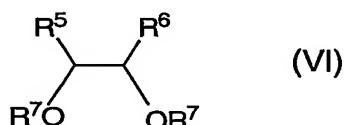
25 to form a compound of formula (IV):



(B) deprotecting the compound of formula (IV) to form a compound of formula (V):



(C) reacting the compound of formula (V) with a compound of formula (VI):



5 wherein R⁵ and R⁶ are each independently selected from the group consisting of

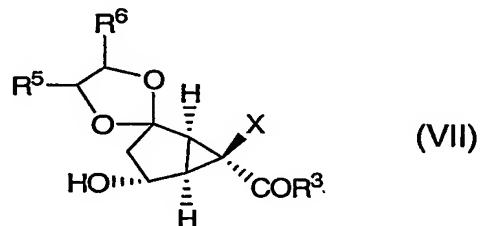
- (1) hydrogen,
- (2) C₁-10 alkyl,
- (3) C₃-8 cycloalkyl, and
- (4) (CH₂)_m phenyl,

10 wherein m is 0, 1 or 2, and

R⁷ is selected from the group consisting of

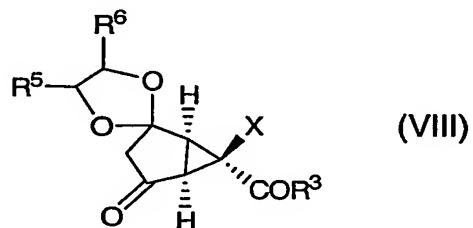
- (1) hydrogen, and
- (2) Si-(R⁹)(R¹⁰)(R¹¹), wherein R⁹, R¹⁰ and R¹¹ are each C₁-10 alkyl or phenyl;

to give a compound of formula (VII):

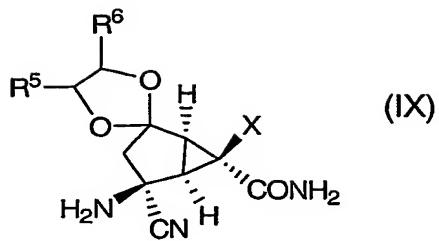


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(D) oxidizing the compound of formula (VII) to give a compound of formula (VIII):

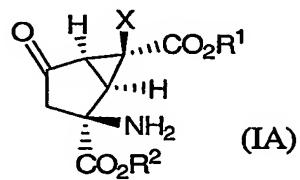


(E) converting the compound of formula (VIII) to a compound of formula (IX):



and (F) converting the compound of formula (IX) to the compound of formula (IA).

2. The process of Claim 1 wherein R⁵ and R⁶ are methyl.
- 5 3. The process of Claim 1 wherein R⁵ and R⁶ are phenyl.
4. The process of Claim 1 wherein R³ is methoxy.
- 10 5. The process of Claim 1 wherein R¹ and R² are hydrogen.
6. The process of Claim 1 wherein R⁷ is trimethylsilyl.
- 15 7. The process of Claim 1 wherein X is hydrogen.
8. The process of Claim 1 wherein X is fluoro.
9. The process of Claim 1 wherein R⁴ is *tert* butyldimethylsilyl.
- 20 10. A process for preparing a compound of formula (IA):



- 25 wherein R¹ and R² are each selected from the group consisting of
 (1) hydrogen,

- (2) C₁-10 alkyl,
- (3) C₃-8 cycloalkyl, and
- (4) -(CH₂)_n-phenyl

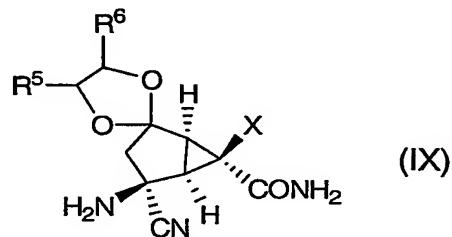
wherein n is 1 or 2, and said alkyl, cycloalkyl and phenyl are unsubstituted or substituted with
5 one or more halogen, hydroxy, C₁-6 alkyl or C₁-6 alkoxy;

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

pharmaceutically acceptable salts thereof;

10 comprising converting the compound of formula (IX):



wherein R⁵ and R⁶ are each independently selected from the group consisting of

- (1) hydrogen,
- (2) C₁-10 alkyl,
- (3) C₃-8 cycloalkyl, and
- (4) (CH₂)_m-phenyl,

15 wherein m is 0, 1 or 2,

wherein m is 0, 1 or 2,
to the compound of formula (IA).

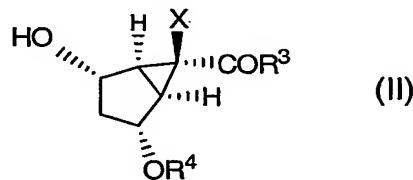
20 11. The process of Claim 10 wherein R⁵ and R⁶ are methyl.

12. The process of Claim 10 wherein R⁵ and R⁶ are phenyl.

25 13. The process of Claim 10 wherein X is fluoro.

14. The process of Claim 10 wherein X is hydrogen.

15. A process for preparing a compound of formula (II):



wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
- (b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

- (i) C₁₋₁₀ alkoxy,

- (ii) hydroxy,

- (iii) halogen,

- (iv) SR^d,

- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,

- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

- (vii) NRERF;

R^b, R^c, R^e and R^f are selected from the group consisting of

- (a) hydrogen,
- (b) C₁₋₁₀ alkyl, and
- (c) C₃₋₈ cycloalkyl,

and when R^b, R^c, R^e or R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,

- (ii) C₁₋₁₀ alkoxy,

- (iii) SR^d,

- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

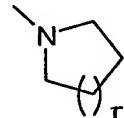
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(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and

(vi) NR^gR^h;

wherein R^g and R^h are hydrogen, C₁-10 alkyl or C₃-8 cycloalkyl;

5 or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

10 (i) hydroxy,

(ii) C₁-10 alkoxy,

(iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and

15 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and

(vi) NR^gR^h,

R^d is hydrogen or C₁-10 alkyl;

20 X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

R⁴ is selected from the group consisting of

25 (1) hydrogen,

(2) C₁-10 alkyl,

(3) Si-(R⁹)(R¹⁰)(R¹¹),

(4) C(=O)-R¹²,

(5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁-10 alkyl and C₁-10

30 alkoxy,

(6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴,

(7) tetrahydropyranyl,

wherein R⁹, R¹⁰ and R¹¹ are each C₁-10 alkyl or phenyl, and R¹⁴ is selected from the group consisting of

- (a) hydrogen,
- (b) C₁-10 alkyl,

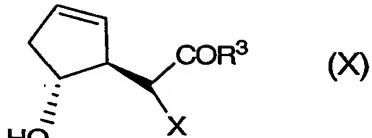
5 p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

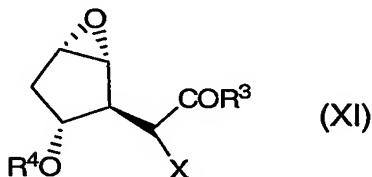
comprising:

(A) converting a compound of formula (X):



10

to a compound of formula (XI):



15 and (B) reacting a compound of formula (XI) with a base in the presence of a Lewis acid to give a compound of formula (II).

16. The process of Claim 5 wherein the conversion of a compound of formula (X) to a compound of formula (XI) comprises the step of subjecting a compound of formula (X) to epoxidation 20 in the presence of a peroxide source and a catalytic amount of VO(acac)₂.

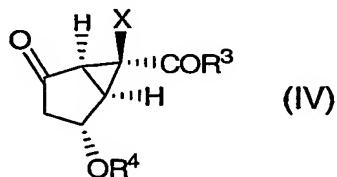
17. The process of Claim 5 wherein the conversion of a compound of formula (X) to a compound of formula (XI) comprises treating the compound of formula (X) with a halogenating agent, followed by treatment with a base.

25

18. The process of Claim 15 wherein X is fluoro.

19. The process of Claim 15 wherein X is hydrogen.

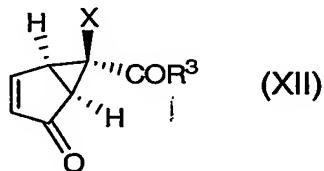
20. The process of Claim 5, further comprising the step of oxidizing the compound of
5 formula (II) to form a compound of formula (IV)



10 21. The process of Claim 20 wherein X is fluoro.

22. The process of Claim 20 wherein X is hydrogen.

23. A process for preparing a compound of formula (XII)



15

wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- (3) -NR^bR^c,

20 20. wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
- (b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

- (i) C₁₋₁₀ alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR^d,

25

(v) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen,
 (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
 5 (vii) NR^eRF;

R^b, R^c, R^e and R^f are selected from the group consisting of

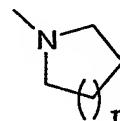
(a) hydrogen,
 (b) C₁-10 alkyl, and
 (c) C₃-8 cycloalkyl,

10 and when R^b, R^c, R^e and R^f are C₁-10 alkyl or C₃-8 cycloalkyl, said C₁-10 alkyl and C₃-8 cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,
 (ii) C₁-10 alkoxy,
 (iii) SR^d,
 15 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
 (vi) NR^gR^h;

20 wherein R^g and R^h are hydrogen, C₁-10 alkyl or C₃-8 cycloalkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group



25 wherein r is 1 or 2, and the NR^bRC group may be unsubstituted or substituted at the ring carbon atoms by one or more

(i) hydroxy,
 (ii) C₁-10 alkoxy,
 (iii) SR^d,
 30 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and

(vi) NRgRh ,

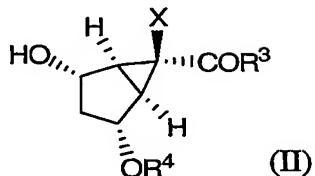
R^d is hydrogen or C₁₋₁₀ alkyl;

X is selected from the group consisting of

5
 (1) halogen, and
 (2) hydrogen;

comprising:

(A) converting a compound of formula (II)



10 wherein R^4 is selected from the group consisting of

- (1) hydrogen,
- (2) C₁₋₁₀ alkyl,
- (3) Si-(R⁹)(R¹⁰)(R¹¹),
- (4) C(=O)-R¹²,

15 (5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ alkyl and C₁₋₁₀ alkoxy,
 (6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴,

- (7) tetrahydropyranyl,

20 wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl, and R¹⁴ is selected from the group consisting of

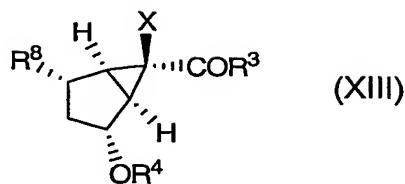
- (a) hydrogen,
- (b) C₁₋₁₀ alkyl,

p is 1 or 2;

25 q is an integer of from 1-10; and

X' is O or a bond;

to a compound of formula (XIII)



wherein R⁸ is selected from the group consisting of

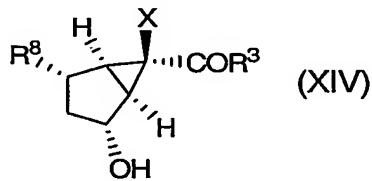
(1) halogen, and

(2) O-SO₂-R¹² wherein R¹² is selected from the group consisting of

5 (a) C₁₋₁₀ alkyl,
 (b) C₁₋₁₀ perfluoroalkyl, or
 (c) phenyl which is substituted or unsubstituted with one or more substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ alkyl, or C₁₋₁₀ alkoxy,

(B) removing R⁴ to form a compound of formula (XIV)

10

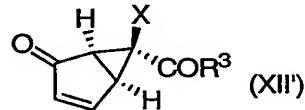


and (C) oxidizing the compound of formula (XIV) to form the compound of formula (XII).

24. The process of claim 23 wherein R³ is methoxy.

15

25. A process for preparing a compound of formula (XII')



20 wherein R³ is selected from the group consisting of

(1) -OH,
 (2) -O-R^a, and
 (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

25 (a) C₁₋₁₀ alkyl, and

(b) C₃-8 cycloalkyl,

and R^a is unsubstituted or substituted with one or more

(i) C₁-10 alkoxy,

(ii) hydroxy,

(iii) halogen,

(iv) SR^d,

(v) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and

(vii) NR^eR^f;

R^b, and R^c, R^e and R^f are selected from the group consisting of

(a) hydrogen,

(b) C₁-10 alkyl, and

(c) C₃-8 cycloalkyl,

and when R^b, R^c, R^e and R^f are C₁-10 alkyl or C₃-8 cycloalkyl, said C₁-10 alkyl and C₃-8 cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii) C₁-10 alkoxy,

(iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen,

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and

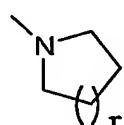
(vi) NR^gR^h;

wherein R^g and R^h are selected from the group consisting of hydrogen, C₁-10 alkyl or C₃-8 cycloalkyl;

R^d is hydrogen or C₁-10 alkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group

30



wherein r is 1 or 2, and the NR^bRC group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- 5 (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- 10 (vi) NR_gR^h,

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

15 R⁴ is selected from the group consisting of

- (1) hydrogen,
- (2) C₁₋₁₀ alkyl,
- (3) Si-(R⁹)(R¹⁰)(R¹¹),
- (4) C(=O)-R¹²,
- 20 (5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ and C₁₋₁₀ alkoxy,
- (6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴,
- (7) tetrahydropyranyl,

25 wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl, and R¹⁴ is selected from the group consisting of

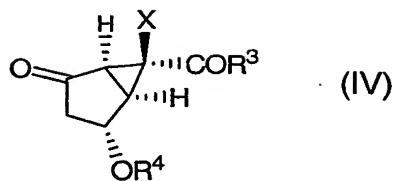
- (a) hydrogen,
- (b) C₁₋₁₀ alkyl;

30 p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

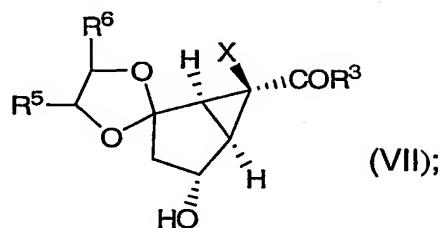
comprising converting a compound of formula (IV)



to a compound of formula (XII').

26. A compound of formula (VII):

5



wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
- (b) C₃₋₈ cycloalkyl,

15

and R^a is unsubstituted or substituted with one or more

- (i) C₁₋₁₀ alkoxy,

- (ii) hydroxy,

- (iii) halogen,

- (iv) SR^d,

20

(v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

- (vii) NRE^eR^f;

25

R^b, R^c, R^e and R^f are selected from the group consisting of

(a) hydrogen,

(b) C₁₋₁₀ alkyl, and

(c) C₃₋₈ cycloalkyl,

and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀

alkoxy, C₁₋₁₀ alkyl or halogen,

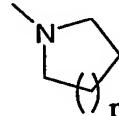
(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vii) NR^gR^h;

wherein R^g and R^h are selected from the group consisting of hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl

R^d is hydrogen or C₁₋₁₀ alkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) NR^gR^h,

R⁵ and R⁶ are independently selected from the group consisting of

(1) hydrogen,

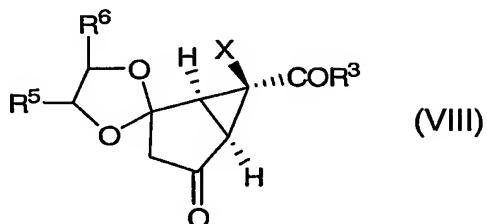
- (2) C₁-10 alkyl,
- (3) C₃-8 cycloalkyl, and
- (4) (CH₂)_m-phenyl,

wherein m is 0, 1 or 2; and

5 X is selected from the group consisting of
 (1) halogen, and
 (2) hydrogen;

and salts thereof.

10 27. A compound of formula (VIII):



wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

- (a) C₁-10 alkyl, and
- (b) C₃-8 cycloalkyl,

and R^a is unsubstituted or substituted with one or more

- (i) C₁-10 alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR^d,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
- (vii) NRERF;

R^b, R^c, R^e and R^f are selected from the group consisting of

- (a) hydrogen,
- (b) C₁₋₁₀ alkyl, and
- (c) C₃₋₈ cycloalkyl,

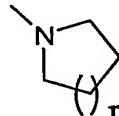
and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (vi) NR^gR^h;

wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;

R^d is hydrogen or C₁₋₁₀ alkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (vi) NR^gR^h,

R⁵ and R⁶ are independently selected from the group consisting of

- (1) hydrogen,
- (2) C₁₋₁₀ alkyl,
- (3) C₃₋₈ cycloalkyl, and

(4) $(\text{CH}_2)_m$ phenyl,

wherein m is 0, 1 or 2; and

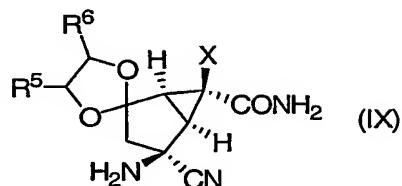
X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

and salts thereof.

28. A compound of formula (IX):



10 wherein R⁵ and R⁶ are independently selected from the group consisting of

(1) hydrogen,

(2) C₁-10 alkyl,

(3) C₃-8 cycloalkyl, and

(4) $(\text{CH}_2)_m$ -phenyl,

15 wherein m is 0, 1 or 2; and

X is selected from the group consisting of

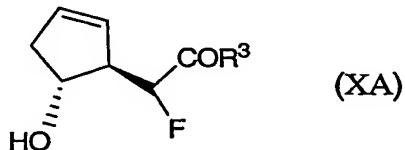
(1) halogen, and

(2) hydrogen;

and salts thereof.

20

29. A compound of formula (XA):



wherein R³ is selected from the group consisting of

25 (1) -OH,

(2) -O-R^a, and

(3) $-NR^bR^c$,

wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
- (b) C₃₋₈ cycloalkyl,

5 and R^a is unsubstituted or substituted with one or more

(i) C₁₋₁₀ alkoxy,

(ii) hydroxy,

(iii) halogen,

(iv) SR^d,

10 (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vii) NR^eR^f;

15 R^b, R^c, R^e and R^f are selected from the group consisting of

- (a) hydrogen,
- (b) C₁₋₁₀ alkyl, and
- (c) C₃₋₈ cycloalkyl,

20 and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

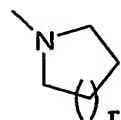
(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

25 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) NR^gR^h;

wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;

30 or R^b and R^c, together with the N atom to which they are attached, form a group



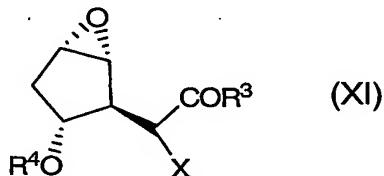
wherein r is 1 or 2, and the NR^bRC group may be unsubstituted or substituted at the ring carbon atoms by one or more .

- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- 5 (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- 10 (vi) NR^eRh,

R^d is hydrogen or C₁₋₁₀ alkyl;

and salts thereof.

15 30. A compound of formula (XI):



wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- 20 (3) -NR^bRC ,

wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl , and
- (b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

- 25 (i) C₁₋₁₀ alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR^d,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and

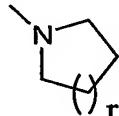
(vii) NR^eR^f;

R^b, R^c, R^e and R^f are selected from the group consisting of

- 5 (a) hydrogen,
- (b) C₁-10 alkyl, and
- (c) C₃-8 cycloalkyl,
and when R^b, R^c, R^e and R^f are C₁-10 alkyl or C₃-8 cycloalkyl, said C₁-10 alkyl and C₃-8 cycloalkyl are unsubstituted or substituted with one or more
- 10 (i) hydroxy,
- (ii) C₁-10 alkoxy,
- (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
- 15 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
- (vi) NR^gR^h;

wherein R^g and R^h are hydrogen, C₁-10 alkyl or C₃-8 cycloalkyl;
or R^b and R^c, together with the N atom to which they are attached, form a group

20



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

- 25 (i) hydroxy,
- (ii) C₁-10 alkoxy,
- (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
- 30 (vi) NR^gR^h,

R^d is hydrogen or C₁₋₁₀ alkyl;

R⁴ is selected from the group consisting of

(1) hydrogen,

5 (2) C₁₋₁₀ alkyl,

(3) Si-(R⁹)(R¹⁰)(R¹¹),

(4) C(=O)-R¹²,

10 (5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ alkyl and C₁₋₁₀ alkoxy,

(6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴,

(7) tetrahydropyranyl,

wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl, and R¹⁴ is selected from the group consisting of

15 (a) hydrogen,

(b) C₁₋₁₀ alkyl,

p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

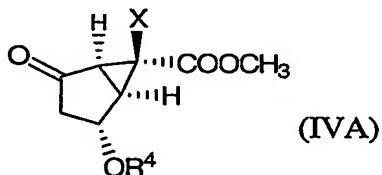
20 X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

and salts thereof.

25 31. A compound of formula (IVA):



wherein X is selected from the group consisting of

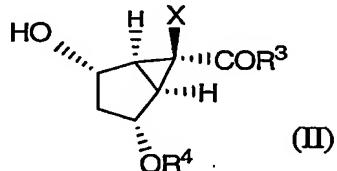
(1) halogen, and

(2) hydrogen; and

30 R⁴ is selected from the group consisting of

(1) hydrogen,
 (2) C₁₋₁₀ alkyl,
 (3) Si-(R⁹)(R¹⁰)(R¹¹),
 (4) C(=O)-R¹²,
 5 (5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ alkyl and C₁₋₁₀ alkoxy,
 (6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴, and
 (7) tetrahydropyranyl,
 10 wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl, and R¹⁴ is selected from the group consisting of
 (a) hydrogen,
 (b) C₁₋₁₀ alkyl,
 p is 1 or 2;
 15 q is an integer of from 1-10; and
 X' is O or a bond;
 and salts thereof.

32. A compound of formula (II):



wherein R³ is selected from the group consisting of

(1) -OH,
 (2) -O-R^a, and
 (3) -NR^bR^c,

25 wherein R^a is selected from the group consisting of

(a) C₁₋₁₀ alkyl, and
 (b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

(i) C₁₋₁₀ alkoxy,

30 (ii) hydroxy,

5

- (iii) halogen,
- (iv) SR^d,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
- (vii) NReRf;

R^b, R^c, R^e and R^f are selected from the group consisting of

10

- (a) hydrogen,
- (b) C₁-10 alkyl, and
- (c) C₃-8 cycloalkyl,

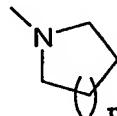
15

and when R^b, R^c, R^e and R^f are C₁-10 alkyl or C₃-8 cycloalkyl, said C₁-10 alkyl and C₃-8 cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
- (ii) C₁-10 alkoxy,
- (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
- (vi) NRgRh;

20

wherein R^g and R^h are hydrogen, C₁-10 alkyl or C₃-8 cycloalkyl; or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

30

- (i) hydroxy,
- (ii) C₁-10 alkoxy,
- (iii) SR^d,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁-10 alkoxy, C₁-10 alkyl or halogen, and
 (vi) NR₈R₉,

5 R^d is hydrogen or C₁-10 alkyl;

R⁴ is selected from the group consisting of

- (1) hydrogen,
- (2) C₁-10 alkyl,
- (3) Si-(R⁹)(R¹⁰)(R¹¹),

10 (4) C(=O)-R¹²,

(5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁-10 alkyl and C₁-10 alkoxy,

(6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴, and

15 (7) tetrahydropyranyl,

wherein R⁹, R¹⁰ and R¹¹ are each C₁-10 alkyl or phenyl, and

R¹⁴ is selected from the group consisting of

- (a) hydrogen,
- (b) C₁-10 alkyl,

20 p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

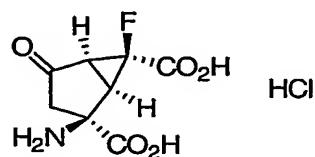
X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

25 and salts thereof.

33. A compound which is:

30



34. A polymorphic form of the compound of Claim 34 wherein the polymorphic form has a d-spacing determined by x-ray powder diffraction, CuK alpha, of about 5.37 angstroms.

35. The polymorphic form of Claim 35, which has at least one additional d-spacing
5 determined by x-ray powder diffraction, CuK alpha, of about 4.52, 4.05, 3.84, 3.37, 2.96, 2.73, 2.67, 2.59 or 2.42 angstroms.

36. A polymorphic form of the compound of Claim 34, wherein the polymorphic form has a Differential Scanning Calorimetry extrapolated onset melting temperature of about 184°C.